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JC05 Rec'd PCT/PTO 27 FEB 2002

FORM PTO-1390  
(REV. 9-2001)

U.S. DEPARTMENT OF COMMERCE PATENT AND TRADEMARK OFFICE

ATTORNEY'S DOCKET NUMBER

**TRANSMITTAL LETTER TO THE UNITED STATES  
DESIGNATED/ELECTED OFFICE (DO/EO/US)  
CONCERNING A FILING UNDER 35 U.S.C. 371**

L.P. 1861

U.S. APPLICATION NO. (If known, see 37 CFR 1.5)

**10/069579**

INTERNATIONAL APPLICATION NO.  
**PCT/EP00/08397**

INTERNATIONAL FILING DATE  
**08/29/2000**

PRIORITY DATE CLAIMED  
**08/30/1999**

TITLE OF INVENTION

**METHOD FOR PRODUCING CYANOACETIC ACID ESTERS**

APPLICANT(S) FOR DO/EO/US

**Paul HANSELMANN and Stefan HILDBRAND**

Applicant herewith submits to the United States Designated/Elected Office (DO/EO/US) the following items and other information:

1. ☒ This is a **FIRST** submission of items concerning a filing under 35 U.S.C. 371.
2. ☐ This is a **SECOND** or **SUBSEQUENT** submission of items concerning a filing under 35 U.S.C. 371.
3. ☐ This is an express request to begin national examination procedures (35 U.S.C. 371(f)). The submission must include items (5), (6), (9) and (21) indicated below.
4. ☒ The US has been elected by the expiration of 19 months from the priority date (Article 31)
5. ☒ A copy of the International Application as filed (35 U.S.C. 371(c)(2))
  - a. ☒ is attached hereto (required only if not communicated by the International Bureau).
  - b. ☒ has been communicated by the International Bureau.
  - c. ☐ is not required, as the application was filed in the United States Receiving Office (RO/US).
6. ☒ An English language translation of the International Application as filed (35 U.S.C. 371(c)(2)).
  - a. ☒ is attached hereto.
  - b. ☐ has been previously submitted under 35 U.S.C. 154(d)(4).
7. ☐ Amendments to the claims of the International Application under PCT Article 19 (35 U.S.C. 371(c)(3))
  - a. ☐ are attached hereto (required only if not communicated by the International Bureau).
  - b. ☐ have been communicated by the International Bureau.
  - c. ☐ have not been made; however, the time limit for making such amendments has NOT expired.
  - d. ☐ have not been made and will not be made.
8. ☐ An English language translation of the amendments to the claims under PCT Article 19 (35 U.S.C. 371 (c)(3)).
9. ☒ An oath or declaration of the inventor(s) (35 U.S.C. 371(c)(4)). **(Unexecuted)**
10. ☐ An English language translation of the annexes of the International Preliminary Examination Report under PCT Article 36 (35 U.S.C. 371(c)(5)).

**Items 11 to 20 below concern document(s) or information included:**

11. ☐ An Information Disclosure Statement under 37 CFR 1.97 and 1.98.
12. ☐ An assignment document for recording. A separate cover sheet in compliance with 37 CFR 3.28 and 3.31 is included.
13. ☒ A **FIRST** preliminary amendment.
14. ☐ A **SECOND** or **SUBSEQUENT** preliminary amendment.
15. ☐ A substitute specification.
16. ☐ A change of power of attorney and/or address letter.
17. ☐ A computer-readable form of the sequence listing in accordance with PCT Rule 13ter.2 and 35 U.S.C. 1.821 - 1.825
18. ☐ A second copy of the published international application under 35 U.S.C. 154(d)(4).
19. ☐ A second copy of the English language translation of the international application under 35 U.S.C. 154(d)(4).
20. ☒ Other items or information: **International Search Report In German with English Language Translation, PCT/IPEA/409, PCT/Beiblatt/409, Change of Address Recording change of address under Rule 92bis PCT**

\*\*\*\*Please enter the preliminary amendment before calculating the filing fee\*\*\*\*

\*\*\*\*Please enter the preliminary amendment before calculating the filing fee\*\*\*\*

U.S. APPLICATION NO. (if known, see 37 CFR 1.53) <b>10/069579</b>		INTERNATIONAL APPLICATION NO. <b>PCT/EP00/08397</b>		ATTORNEY'S DOCKET NUMBER <b>L.P. 1861</b>			
21. <input checked="" type="checkbox"/> The following fees are submitted: <b>BASIC NATIONAL FEE (37 CFR 1.492 (a) (1) - (5)):</b> Neither international preliminary examination fee (37 CFR 1.482) nor international search fee (37 CFR 1.445(a)(2)) paid to USPTO and International Search Report not prepared by the EPO or JPO . . . . . <b>\$1040.00</b>  International preliminary examination fee (37 CFR 1.482) not paid to USPTO but International Search Report prepared by the EPO or JPO . . . . . <b>\$890.00</b>  International preliminary examination fee (37 CFR 1.482) not paid to USPTO but international search fee (37 CFR 1.445(a)(2)) paid to USPTO . . . . . <b>\$740.00</b>  International preliminary examination fee (37 CFR 1.482) paid to USPTO but all claims did not satisfy provisions of PCT Article 33(1)-(4) . . . . . <b>\$710.00</b>  International preliminary examination fee (37 CFR 1.482) paid to USPTO and all claims satisfied provisions of PCT Article 33(1)-(4) . . . . . <b>\$100.00</b>  <b>ENTER APPROPRIATE BASIC FEE AMOUNT =</b>				<b>CALCULATIONS PTO USE ONLY</b>          <table style="width:100%; border: none;"> <tr> <td style="width: 50%; border: none;"><b>\$ 890.00</b></td> <td style="width: 50%; border: none;"></td> </tr> </table>		<b>\$ 890.00</b>	
<b>\$ 890.00</b>							
Surcharge of <b>\$130.00</b> for furnishing the oath or declaration later than <input type="checkbox"/> 20 <input type="checkbox"/> 30 months from the earliest claimed priority date (37 CFR 1.492(e)).				<table style="width:100%; border: none;"> <tr> <td style="width: 50%; border: none;">\$</td> <td style="width: 50%; border: none;"></td> </tr> </table>		\$	
\$							
CLAIMS	NUMBER FILED	NUMBER EXTRA	RATE				
Total claims	- 20 =		x <b>\$18.00</b>	\$			
Independent claims	- 3 =		x <b>\$84.00</b>	\$			
MULTIPLE DEPENDENT CLAIM(S) (if applicable)			+ <b>\$280.00</b>	\$			
<b>TOTAL OF ABOVE CALCULATIONS =</b>				\$	<b>890.00</b>		
<input type="checkbox"/> Applicant claims small entity status. See 37 CFR 1.27. The fees indicated above are reduced by 1/2.				\$			
<b>SUBTOTAL =</b>				\$	<b>890.00</b>		
Processing fee of <b>\$130.00</b> for furnishing the English translation later than <input type="checkbox"/> 20 <input type="checkbox"/> 30 months from the earliest claimed priority date (37 CFR 1.492(f)).				\$			
<b>TOTAL NATIONAL FEE =</b>				\$	<b>890.00</b>		
Fee for recording the enclosed assignment (37 CFR 1.21(h)). The assignment must be accompanied by an appropriate cover sheet (37 CFR 3.28, 3 31). <b>\$40.00</b> per property +				\$			
<b>TOTAL FEES ENCLOSED =</b>				\$	<b>890.00</b>		
				Amount to be refunded:	\$		
				charged:	\$		

a. ☒ A check in the amount of \$ 890.00 to cover the above fees is enclosed.

b. ☐ Please charge my Deposit Account No. \_\_\_\_\_ in the amount of \$ \_\_\_\_\_ to cover the above fees.  
 A duplicate copy of this sheet is enclosed.

c. ☒ The Commissioner is hereby authorized to charge any additional fees which may be required, or credit any  
 overpayment to Deposit Account No. 06-1110. A duplicate copy of this sheet is enclosed.

d. ☐ Fees are to be charged to a credit card. **WARNING:** Information on this form may become public. **Credit card  
 information should not be included on this form.** Provide credit card information and authorization on PTO-2038.

**NOTE:** Where an appropriate time limit under 37 CFR 1.494 or 1.495 has not been met, a petition to revive (37 CFR  
 1.137 (a) or (b)) must be filed and granted to restore the application to pending status.

SEND ALL CORRESPONDENCE TO.

Fisher Christen & Sabol  
 1725 K Street, N.W.  
 Suite 1401  
 Washington, D.C. 20006

Virgil H. Marsh  
 SIGNATURE

Virgil H. Marsh  
 NAME

23,083  
 REGISTRATION NUMBER

\*\*\*\*\*Please enter the Preliminary Amendment before calculating the filing fee\*\*\*\*\*

\*\*\*\*\*Please enter the Preliminary Amendment before calculating the filing fee\*\*\*\*\*

## IN THE UNITED STATES PATENT AND TRADEMARK OFFICE

Docket: LP-1861

Applicant : Paul HANSELMANN et al.  
Serial No. : Unknown (371 of PCT/EP00/08397)  
Filed : 02/28/2002  
For : METHOD FOR PRODUCING CYANOACETIC ACID  
ESTERS

PRELIMINARY AMENDMENT

Commissioner for Patents  
Washington, D.C. 20231

Sir:

Please amend this application as follows:

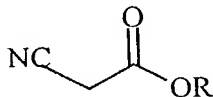
IN THE CLAIMS:

In accordance with 37 C.F.R. 1.121, please cancel original Claims 1 to 6, and insert therefor new Claims 7 to 16. The changes made are shown explicitly in the attached "Version With Markings to Show Changes Made".

Please cancel original Claims 1 to 6.

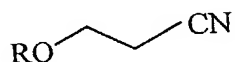
Please insert new Claims 7 to 16.

7. A process for the preparation of a cyanoacetic acid ester of formula:



I

in which R is an optionally substituted linear or branched C<sub>1-8</sub>-alkyl group or an aryl-C<sub>1-4</sub>-alkyl group, comprising oxidizing an alkoxypropionitrile of formula:



II

in which R has the meaning given above, using oxygen or an oxygen-forming reagent to give the cyanoacetic acid ester of formula I, in the presence of a catalyst based on lead or one of the transition metals.

8. The process according to Claim 7, wherein the transition metal catalyst is a cobalt catalyst.

9. The process according to Claim 8, wherein the cobalt catalyst is cobalt(II) acetate tetrahydrate.

10. The process according to Claim 9, wherein the cobalt(II) acetate tetrahydrate is employed in an amount from 0.01 to 10 mol percent relative to the alkoxypropionitrile of formula II.

11. The process according to Claim 10, wherein the oxidation is carried out at a temperature of 50 to 250 °C.

12. The process according to Claim 11, wherein the oxidation is carried out in an organic solvent.

13. The process according to Claim 7, wherein the cobalt catalyst is cobalt(II) acetate tetrahydrate.

14. The process according to Claim 7, wherein the transition metal catalyst is employed in an amount from 0.01 to 10 mol percent relative to the alkoxypropionitrile of formula II.

15. The process according to Claim 7, wherein the oxidation is carried out at a temperature of 50 to 250 °C.

16. The process according to Claim 7, wherein the oxidation is carried out in an organic solvent.

IN THE ABSTRACT:

The Abstract is supplied on a separate page attached hereto.

IN THE SPECIFICATION:

In accordance with 37C.F.R. 1.121, please insert on page 1, between the Title and the first line, the priority benefit paragraph, and substitute for the original paragraph on page 2, lines 7 and 8, the following rewritten version of the paragraph on page 2, lines 7 and 8, as amended. The changes made are shown explicitly in the attached "Version With Markings to Show Changes Made".

Please insert on page 1, between the Title and the first line, the following priority benefit paragraph:

This is a 371 of International Patent Application PCT/EP00/08397, filed on August 29, 2000, that has priority benefit of Provisional Application No. 60/185,372, filed on February 28, 2000, and that has priority benefit of European Patent Application 99117033.3 filed on August 30, 1999.

Please substitute for the original paragraph on page 2, lines 7 and 8, the following rewritten version of the paragraph on page 2, line 7 and 8, as amended.

This object is achieved by the process according to the invention.

HANSELMANN et al. - 371 of PCT/EP00/08397  
Preliminary Amendment

**REMARKS**

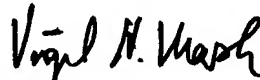
Please enter the Preliminary Amendment before calculating the filing fee.

The claims have been rewritten to eliminate multiple Claim dependency.

Original Claim 1 to 6 have been canceled. New Claims 7 to 16 have been inserted. An abstract has been supplied on a separate page attached hereto.

The priority benefit paragraph has been inserted on [age 1, between the Title and the first line. The original paragraph on page to, lines 7 and 8, has been amended.

Respectfully submitted,



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Reg. No. 23,083

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Tel.: (202) 659-2000

Date: Feb. 27, 2002

**VERSION WITH MARKINGS TO SHOW CHANGES MADE**

**IN THE CLAIMS:**

Original Claims 1 to 6 have been canceled.

New Claims 7 to 16 have been inserted.

**IN THE ABSTRACT:**

The Abstract has been supplied on a separate page attached hereto.

**IN THE SPECIFICATION:**

The following priority benefit paragraph has been inserted on page 1,  
between the Title and the first line:

This is a 371 of International Patent Application PCT/EP00/08397, filed on  
August 29, 2000, that has priority benefit of Provisional Application No.  
60/185,372, filed on February 28, 2000, and that has priority benefit of European  
Patent Application 99117033.3 filed on August 30, 1999.

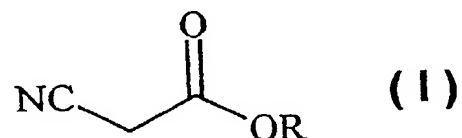
The original paragraph on page 2, lines 7 and 8, has been replaced with  
the following rewritten version of the paragraph on page 2, lines 7 and 8, as  
amended:

This object is achieved by the process according to the invention.

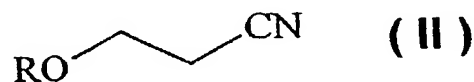


**ABSRTACT OF THE INVENTION**

A method for producing cyanoacetic acid esters of general formula (I):



wherein R represents an optionally substituted linear or branched C<sub>1-8</sub> alkyl group or an aryl C<sub>1-4</sub> alkyl group. According to the method, an alkoxypropionitrile of the general formula (II):



wherein R is defined above, is oxidized to form the desired product in the presence of a catalyst, based on lead or on one of the transition metals, using oxygen or an oxygen-forming reagent.

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Process for the preparation of cyanoacetic acid esters

The invention relates to a process for the preparation of cyanoacetic acid esters of the general formula



starting from an alkoxypropionitrile.

The residue R is to be understood here and hereinafter as meaning an optionally substituted linear or branched C<sub>1-8</sub>-alkyl group or an aryl-C<sub>1-4</sub>-alkyl group. Unsubstituted C<sub>1-8</sub>-alkyl groups are, for example, methyl, ethyl, propyl, isopropyl, butyl, isobutyl, tert-butyl, butyl, pentyl, hexyl, 2-ethylbutyl, heptyl, octyl or 2-ethylhexyl. 2-Ethoxyethyl or 2-methoxyethyl may, for example, be employed as substituted C<sub>1-8</sub>-alkyl groups. Aryl-C<sub>1-4</sub>-alkyl groups are, for example, benzyl, 1-phenylethyl and 2-phenylethyl.

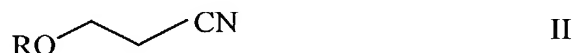
Cyanoacetic acid esters of the general formula I are important starting materials for the synthesis of organic compounds, for example pharmaceutical active substances.

The electrochemical oxidation of alkyl β-cyanoethyl ethers (alkoxypropionitriles) with platinum or lead oxide as anode in aqueous sulfuric acid is known (B. Wermeckes, F. Beck, *Elektrochim. Acta.* 1985, 30, 1491). In this reaction, the main products obtained are not the desired esters but cyanoacetic acid and the carboxylic acid corresponding to the alkyl group such as, for example, formic acid or acetic acid.

WO 92/01296 describes the oxidation of partially oxidized propionitriles (e.g. cyanoacetaldehyde or acetals thereof) by means of oxygen or other oxidants in the presence of catalysts such as iron chloride or palladium chloride, cyanoacetic acid likewise being formed first, which then has to be

The object of the present invention is to make available a process for the preparation of cyanoacetic acid esters in which the desired cyanoacetic acid esters are formed directly.

Surprisingly, it has been found that if alkoxypropionitriles of the general formula



Depending on the meaning of the radical R and the ester to be produced, starting materials which can be employed are, for example, 3-methoxypropionitrile, 3-ethoxypropionitrile, 3-propoxypropionitrile, 3-butoxypropionitrile and 3-benzyloxypropionitrile. These starting materials are either commercially available compounds or can be prepared according to known syntheses (cf. e.g. B. Wermeckes, F. Beck, *Elektrochim. Acta*, **1985**, *30*, 1491), for example by addition of the corresponding alcohol to acrylonitrile.

Transition metals are understood below as meaning, in particular, the metals platinum, palladium, ruthenium, rhodium, rhenium, scandium, titanium, vanadium, chromium, manganese, iron, cobalt, nickel, copper, zinc, zirconium, niobium, molybdenum, osmium, silver, cadmium, tantalum, tungsten or mercury.

A cobalt catalyst such as, for example, cobalt acetate tetrahydrate, or cobalt acetylacetonate, is preferably employed for the oxidation.

- 3 -

The cobalt catalyst most preferably employed is cobalt acetate tetrahydrate.

Preferably, the transition metal catalyst is employed in an amount from 0.01 to 10 mol%, more preferably in an amount from 0.01 to 3 mol%.

The oxidation is expediently carried out at a temperature of 50 to 250 °C, preferably at a temperature of 100 to 200 °C.

The oxidation is customarily carried out under pressure, such as, for example, a pressure of 5 to 15 bar.

The oxidation is carried out either without solvent or in an inorganic or organic solvent. The organic solvent employed can be, for example, acetonitrile, acetic acid, toluene, ethyl acetate, acetone or tetrahydrofuran, or an alcohol such as methanol, ethanol, propanol or butanol. The inorganic solvent used can be, for example, water. An organic solvent such as acetonitrile is preferably employed.

The oxidation is expediently carried out in the presence of a radical inducer such as, for example, *N*-hydroxyphthalimide, *N*-hydroxysuccinimide or *N*-hydroxymaleimide.

The following non-limiting examples will illustrate the implementation of the process of the invention.

#### Example 1

##### Methyl cyanoacetate

1.1 9 bar of O<sub>2</sub> were injected into a solution of 3.0 g (0.035 mol) of 3-methoxypropionitrile (Fluka), 0.5 g (3.0 mmol) of NHPI (*N*-hydroxyphthalimide) and 57 mg (0.23 mmol) of Co(CH<sub>3</sub>COO)<sub>2</sub>·4H<sub>2</sub>O in 50 ml of acetic acid in a pressure autoclave. The mixture was subsequently stirred at 150 °C for 5 h. The pressure in the autoclave was released and

- 4 -

the reaction mixture was analysed by gas chromatography. The starting material/product ratios were determined by comparison of the respective peak areas. The apparatus used was an HP 5890 gas chromatograph having a WLD detector and Permabond® Carbowax column. A ratio 3-methoxypropionitrile/methyl cyanoacetate of 11:1 was found.

1.2 9 bar of O<sub>2</sub> were injected into a solution of 3.0 g (0.035 mol) of 3-methoxypropionitrile, 1.0 g (6.13 mmol) of NHPI and 112 mg (0.45 mmol) of Co(CH<sub>3</sub>COO)<sub>2</sub>·4H<sub>2</sub>O in 30 g of acetonitrile. The mixture was stirred at 190 °C for 7 h. The pressure in the autoclave was released and the reaction mixture was analysed by gas chromatography according to Example 1.1. A ratio 3-methoxypropionitrile/methyl cyanoacetate of 2:1 was found. The reaction was then worked up: acetonitrile was distilled off on a rotary evaporator, the residue was taken up in 50 ml of diethyl ether and the mixture was filtered. The filtrate was washed with H<sub>2</sub>O (50 ml), the solvent was stripped off on the rotary evaporator and the residue was purified by means of flash column chromatography (hexane/ethyl acetate 3:1). The complete separation of the starting material was not possible.

<sup>1</sup>H-NMR (CDCl<sub>3</sub>, 300 MHz) δ: 3.81 (s, 3H), 3.5 (s, 2H).

1.3 9 bar of O<sub>2</sub> were injected into a solution of 1.0 g (6.13 mmol) of NHPI and 100 mg (0.40 mmol) of Co(CH<sub>3</sub>COO)<sub>2</sub>·4H<sub>2</sub>O in 20.0 g (0.23 mol) of 3-methoxypropionitrile. The mixture was stirred at 130 °C for 3 h and the pressure in the autoclave was then released.

- 5 -

According to GC corresponding to Example 1.1, a ratio of 9:1 (starting material/methyl cyanoacetate) was obtained.

5        1.4    9 bar of O<sub>2</sub> were injected into a solution of  
6.0 g (0.07 mol) of 3-methoxypropionitrile,  
2.5 g (0.015 mol) of NHPI and 0.5 g (2 mmol)  
of Co(CH<sub>3</sub>COO)<sub>2</sub>·4H<sub>2</sub>O in 30 g of acetonitrile.  
The mixture was stirred at 180 °C for 5.5 h.  
10        The pressure in the autoclave was released  
and the reaction mixture was analysed by gas  
chromatography corresponding to Example 1.1.  
A ratio starting material/methyl cyanoacetate  
of 5:1 was found.

15

**Example 2****Ethyl cyanoacetate**

9 bar of O<sub>2</sub> were injected into a solution of  
20    3.0 g (0.030 mol) of 3-ethoxypropionitrile, 1.0 g  
(6.13 mmol) of NHPI and 116 mg (0.47 mmol) of  
Co(CH<sub>3</sub>COO)<sub>2</sub>·4H<sub>2</sub>O in 30 g of acetonitrile. The mixture  
was stirred at 190 °C for 7 h. The pressure in the  
autoclave was released and the reaction mixture was  
25    analysed by gas chromatography corresponding to Example  
1.1. A ratio starting material/ethyl cyanoacetate of  
3:1 was found.

The results of the examples are summarized in  
Table 1.

30

Exam- ple	R =	Cat [mol%]	NHPI [mol%]	Solv.	$\theta$ [°C]/t [h]	Starting material/ product
1	Me	0.65	8.6	Acetic acid	150 / 5	11:1
2	Me	1.3	17.5	Aceto- nitrile	190 / 7	2:1
3	Me	0.17	2.6	None	130 / 3	9:1
4	Me	2.9	21.8	Aceto- nitrile	180 / 5.5	5:1
5	Et	1.5	20.3	Aceto- nitrile	190 / 7	3:1

Et = ethyl,

NHPI = *N*-hydroxyphthalimide,

5

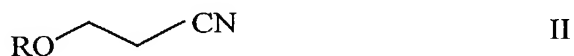
## Claims

1. Process for the preparation of cyanoacetic acid esters of the general formula

5



in which R is an optionally substituted linear or  
branched C<sub>1-8</sub>-alkyl group or an aryl-C<sub>1-4</sub>-alkyl group,  
10 characterized in that an alkoxypionitrile of the  
general formula



15 in which R has the meaning given above is oxidized using oxygen or an oxygen-forming reagent to give the desired product in the presence of a catalyst based on lead or one of the transition metals.

2. Process according to Claim 1, characterized in  
20 that the transition metal catalyst used is a cobalt  
catalyst.

3. Process according to Claim 2, characterized in that the cobalt catalyst used is cobalt(II) acetate tetrahydrate.

25 4. Process according to one of Claims 1 to 3,  
characterized in that the transition metal catalyst is  
employed in an amount from 0.01 to 10 mol%, relative to  
the alkoxypropionitrile (II).

5. Process according to at least one of Claims 1  
30 to 4, characterized in that the reaction is carried out  
at a temperature of 50 to 250 °C.

6. Process according to at least one of Claims 1 to 5, characterized in that the reaction is carried out in an organic solvent.



(12) NACH DEM VERTRAG ÜBER DIE INTERNATIONALE ZUSAMMENARBEIT AUF DEM GEBIET DES  
PATENTWESENS (PCT) VERÖFFENTLICHTE INTERNATIONALE ANMELDUNG

(19) Weltorganisation für geistiges Eigentum  
Internationales Büro



(43) Internationales Veröffentlichungsdatum  
8. März 2001 (08.03.2001)

PCT

(10) Internationale Veröffentlichungsnummer  
WO 01/16092 A1

(51) Internationale Patentklassifikation<sup>7</sup>: C07C 253/30, 255/19

(21) Internationales Aktenzeichen: PCT/EP00/08397

(22) Internationales Anmeldedatum:  
29. August 2000 (29.08.2000)

(25) Einreichungssprache: Deutsch

(26) Veröffentlichungssprache: Deutsch

(30) Angaben zur Priorität:  
99117033.3 30. August 1999 (30.08.1999) EP  
60/185,372 28. Februar 2000 (28.02.2000) US

(71) Anmelder (für alle Bestimmungsstaaten mit Ausnahme von  
US): LONZA AG [CH/CH]; Münchensteinerstrasse 38,  
CH-4052 Basel (CH).

(72) Erfinder; und

(75) Erfinder/Anmelder (nur für US): HANSELMANN, Paul  
[CH/CH]; Holzachra 25, CH-3902 Brig-Glis (CH). HILD-  
BRAND, Stefan [CH/CH]; Bärenstrasse 8a, CH-3930 Visp  
(CH).

(74) Gemeinsamer Vertreter: LONZA AG; Münchensteiner-  
strasse 38, CH-4052 Basel (CH).

(81) Bestimmungsstaaten (national): AE, AG, AL, AM, AT,  
AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU,  
CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM,  
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LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX,  
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TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW.

(84) Bestimmungsstaaten (regional): ARIPO-Patent (GH,  
GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW), eura-  
sisches Patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),  
europäisches Patent (AT, BE, CH, CY, DE, DK, ES, FI,  
FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPI-Patent  
(BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE,  
SN, TD, TG).

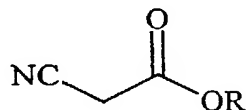
Veröffentlicht:

- Mit internationalem Recherchenbericht
- Vor Ablauf der für Änderungen der Ansprüche geltenden  
Frist, Veröffentlichung wird wiederholt, falls Änderungen  
eintreffen

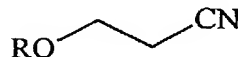
Zur Erklärung der Zweibuchstaben-Codes, und der anderen  
Abkürzungen wird auf die Erklärungen ("Guidance Notes on  
Codes and Abbreviations") am Anfang jeder regulären Ausgabe  
der PCT-Gazette verwiesen.

(54) Title: METHOD FOR PRODUCING CYANOACETIC ACID ESTERS

(54) Bezeichnung: VERFAHREN ZUR HERSTELLUNG VON CYANESSIGSÄUREESTERN



(I)



(II)

(57) Abstract: The invention relates to a method for producing cyanoacetic acid esters of general formula (I), wherein R represents an optionally substituted linear or branched C<sub>1-8</sub> alkyl group or an aryl C<sub>1-4</sub> alkyl group. According to said method, an alkoxy propionitrile of general formula (II), wherein R is defined as above, is oxidised to form the desired product in the presence of a catalyst, based on lead or on one of the transition metals, using oxygen or an oxygen-forming reagent.

(57) Zusammenfassung: Beschrieben wird ein Verfahren zur Herstellung von Cyanessigsäureestern der allgemeinen Formel (I), worin R eine gegebenenfalls substituierte lineare oder verzweigte C<sub>1-8</sub>-Alkylgruppe oder eine Aryl-C<sub>1-4</sub>-alkylgruppe bedeutet, wobei ein Alkoxypropionitril der allgemeinen Formel (II), worin R die oben genannte Bedeutung hat, in Gegenwart eines Katalysators auf der Basis von Blei oder einem der Übergangsmetalle mit Sauerstoff oder einem Sauerstoff bildenden Reagens zum gewünschten Produkt oxidiert wird.

WO 01/16092 A1

<b>DECLARATION FOR UTILITY OR DESIGN PATENT APPLICATION (37 CFR 1.63)</b>  <input type="checkbox"/> Declaration Submitted with Initial Filing      OR <input checked="" type="checkbox"/> Declaration Submitted after Initial Filing (surcharge (37 CFR 1.16 (e)) required)	<b>Attorney Docket Number</b>		L.P. 1861
	<b>First Named Inventor</b>		Paul HANSELMANN
	<b>COMPLETE IF KNOWN</b>		
	<b>Application Number</b>	10 / 069,579	
	<b>Filing Date</b>	February 27, 2002	
	<b>Art Unit</b>		
	<b>Examiner Name</b>		

As the below named inventor, I hereby declare that:

My residence, mailing address, and citizenship are as stated below next to my name.

I believe I am the original and first inventor of the subject matter which is claimed and for which a patent is sought on the invention entitled:

METHOD FOR PRODUCING CYANOACETIC ACID ESTERS

*(Title of the Invention)*

the specification of which

☐ is attached hereto

OR

☒ was filed on (MM/DD/YYYY)

02/27/2002

as United States Application Number or PCT International

Application Number

10/069,579

and was amended on (MM/DD/YYYY)

(if applicable).

I hereby state that I have reviewed and understand the contents of the above identified specification, including the claims, as amended by any amendment specifically referred to above.

I acknowledge the duty to disclose information which is material to patentability as defined in 37 CFR 1.56, including for continuation-in-part applications, material information which became available between the filing date of the prior application and the national or PCT international filing date of the continuation-in-part application.

I hereby claim foreign priority benefits under 35 U.S.C. 119(a)-(d) or (f), or 365(b) of any foreign application(s) for patent, inventor's or plant breeder's rights certificate(s), or 365(a) of any PCT international application which designated at least one country other than the United States of America, listed below and have also identified below, by checking the box, any foreign application for patent, inventor's or plant breeder's rights certificate(s), or any PCT international application having a filing date before that of the application on which priority is claimed.

Prior Foreign Application Number(s)	Country	Foreign Filing Date (MM/DD/YYYY)	Priority Not Claimed	Certified Copy Attached?	
				YES	NO
99117033.3 60/185,372	Europe United States	08/30/1999 02/28/2000	<input type="checkbox"/>	<input type="checkbox"/>	<input checked="" type="checkbox"/>
			<input type="checkbox"/>	<input type="checkbox"/>	<input checked="" type="checkbox"/>
			<input type="checkbox"/>	<input type="checkbox"/>	<input type="checkbox"/>
			<input type="checkbox"/>	<input type="checkbox"/>	<input type="checkbox"/>

☐ Additional foreign application numbers are listed on a supplemental priority data sheet PTO/SB/02B attached hereto:

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**DECLARATION — Utility or Design Patent Application**Direct all correspondence to: ☐ Customer Number or Bar Code Label ☐ OR ☒ Correspondence address belowName Fisher Christen & SabolAddress 1725 K Street, N.W., Suite 1401City WashingtonState D.C.ZIP 20006Country United StatesTelephone 202 659-2000Fax 659-2015

I hereby declare that all statements made herein of my own knowledge are true and that all statements made on information and belief are believed to be true; and further that these statements were made with the knowledge that willful false statements and the like so made are punishable by fine or imprisonment, or both, under 18 U.S.C. 1001 and that such willful false statements may jeopardize the validity of the application or any patent issued thereon.

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ZIP CH-4125Country SWITZERLAND☐ Additional inventors are being named on the \_\_\_\_\_ supplemental Additional Inventor(s) sheet(s) PTO/SB/02A attached hereto.